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                 Web Page for STN Seminar Schedule - N. America
NEWS
NEWS 2 OCT 02
                 CA/CAplus enhanced with pre-1907 records from Chemisches
                 Zentralblatt
NEWS 3 OCT 19
                 BEILSTEIN updated with new compounds
NEWS 4 NOV 15
                 Derwent Indian patent publication number format enhanced
NEWS 5
         NOV 19
                 WPIX enhanced with XML display format
NEWS 6
         NOV 30 ICSD reloaded with enhancements
NEWS 7 DEC 04 LINPADOCDB now available on SIN
NEWS 8 DEC 14 BEILSTEIN pricing structure to change
NEWS 9 DEC 17 USPATOLD added to additional database clusters
NEWS 10 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 11 DEC 17
                 DGENE now includes more than 10 million sequences
NEWS 12 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in
                 MEDLINE segment
NEWS 13 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 14 DEC 17 CA/CAplus enhanced with new custom IPC display formats
NEWS 15 DEC 17 STN Viewer enhanced with full-text patent content
                 from USPATOLD
NEWS 16 JAN 02
                 STN pricing information for 2008 now available
NEWS 17 JAN 16 CAS patent coverage enhanced to include exemplified
                 prophetic substances
NEWS 18 JAN 28 USPATFULL, USPAT2, and USPATOLD enhanced with new
                 custom IPC display formats
NEWS 19 JAN 28 MARPAT searching enhanced
NEWS 20 JAN 28 USGENE now provides USPTO sequence data within 3 days
                 of publication
NEWS 21 JAN 28 TOXCENTER enhanced with reloaded MEDLINE segment
NEWS 22 JAN 28 MEDLINE and LMEDLINE reloaded with enhancements
NEWS 23 FEB 08 STN Express, Version 8.3, now available
NEWS 24 FEB 20 PCI now available as a replacement to DPCI
NEWS 25 FEB 25
                 IFIREF reloaded with enhancements
NEWS 26 FEB 25 IMSPRODUCT reloaded with enhancements
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NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8
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FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

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FILE COVERS 1907 - 28 Feb 2008 VOL 148 ISS 9 FILE LAST UPDATED: 27 Feb 2008 (20080227/ED)

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1366911 CRYSTAL

T.3

10 L1 AND CRYSTAL

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L1
              486 S E3
L2
               1 S L1 AND CRYSTALLINE
L3
               10 S L1 AND CRYSTAL
                0 S L1 AND POLYMORPH
L4
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E N-DESMETHYLZOLMITRIPTAN

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FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008 L6 452 S L5

=> s 16 and crystall####### 530011 CRYSTALL#######

L7 2 L6 AND CRYSTALL#######

=> d 17

- L7 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN
- AN 2006:494307 CAPLUS
- DN 144:488639
- TI Preparation of zolmitriptan crystal forms
- IN Izsak, Reuven; Lerman, Ori; Koltai, Tamas; Aronhime, Judith; Pinchasov,
  Michael; Eisen-Nevo, Hagit
- PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa, Inc.
- SO PCT Int. Appl., 54 pp. CODEN: PIXXD2
- DT Patent
- LA English

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     143:216706
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     Crystalline forms of zolmitriptan
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      Van Der Schaaf, Paul Adriaan; Blatter, Fritz; Szelagiewicz, Martin;
      Berens, Ulrich; De Paul, Susan
      Ciba Specialty Chemicals Holding Inc., Switz.
PA
SO
      PCT Int. Appl., 33 pp.
      CODEN: PIXXD2
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              486 S E3
L1
                1 S L1 AND CRYSTALLINE
L2
               10 S L1 AND CRYSTAL
L3
L4
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                   E N-DESMETHYLZOLMITRIPTAN
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FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008

1 S E3/CN

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FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008
L6
           452 S L5
T.7
             2 S L6 AND CRYSTALL#######
=> s 16 and polymorph####
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L8
            4 L6 AND POLYMORPH####
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CLASS ----- IPC, NCL, ECLA, FTERM
DALL ----- ALL, delimited (end of each field identified)
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FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
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IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
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IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
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OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
             containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
             its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
FHITSTR ---- First HIT RN, its text modification, its CA index name, and
             its structure diagram
FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs
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L1 486 S E3

L2 1 S L1 AND CRYSTALLINE

L3 10 S L1 AND CRYSTAL

L4 0 S L1 AND POLYMORPH

E N-DESMETHYLZOLMITRIPTAN

FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008 E ZOLMITRIPTAN/CN

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FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008 1 S E3/CN

FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008

L6 452 S L5

2 S L6 AND CRYSTALL#######

L8 4 S L6 AND POLYMORPH###

=> d 18 1-4

L5

L7

L8 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:657168 CAPLUS

DN 145:110209

TI Zolmitriptan polymorphs

IN Sundaram, Venkataraman; Koilkonda, Purandhar; Lekkala, Amarnath Reddy; Kotagiri, Vijaykumar; Suthrapu, Sashikanth; Golla, Kondaiah China Mala

PA India

SO U.S. Pat. Appl. Publ., 8 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

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ΡI	US 2006148868	A1	20060706	US 2005-284729	20051122
PRAI	US 2004-630285P	P	20041123		
	IN 2005-CH226	A	20050308		
	US 2005-673141P	P	20050420		

L8 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2006:494307 CAPLUS

DN 144:488639

TI Preparation of zolmitriptan crystal forms

```
Izsak, Reuven; Lerman, Ori; Koltai, Tamas; Aronhime, Judith; Pinchasov,
ΤN
     Michael; Eisen-Nevo, Hagit
PA
     Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals Usa,
     Inc.
SO
     PCT Int. Appl., 54 pp.
     CODEN: PIXXD2
DT
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     143:216706
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ΤI
     Van Der Schaaf, Paul Adriaan; Blatter, Fritz; Szelagiewicz, Martin;
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     Berens, Ulrich; De Paul, Susan
     Ciba Specialty Chemicals Holding Inc., Switz.
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COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)
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LAST RELOADED: Feb 22, 2008 (20080222/UP).
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SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 14:18:34 ON 28 FEB 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:ssptasxs1626

## PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \* \* SESSION RESUMED IN FILE 'STNGUIDE' AT 16:12:13 ON 28 FEB 2008 FILE 'STNGUIDE' ENTERED AT 16:12:13 ON 28 FEB 2008 COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
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32.32

=> d his

(FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008)

FILE 'CAPLUS' ENTERED AT 14:09:03 ON 28 FEB 2008

E ZOLMITRIPTAN

L1 486 S E3

L2 1 S L1 AND CRYSTALLINE

L3 10 S L1 AND CRYSTAL

L4 0 S L1 AND POLYMORPH

E N-DESMETHYLZOLMITRIPTAN

FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008 E ZOLMITRIPTAN/CN

FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008 S E3

FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008 L5 1 S E3/CN

FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008

L6 452 S L5

FILE 'STNGUIDE' ENTERED AT 14:15:41 ON 28 FEB 2008

=> file reg

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
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FILE 'REGISTRY' ENTERED AT 16:12:49 ON 28 FEB 2008
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Property values tagged with IC are from the  ${\tt ZIC/VINITI}$  data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 FEB 2008 HIGHEST RN 1005551-32-5 DICTIONARY FILE UPDATES: 27 FEB 2008 HIGHEST RN 1005551-32-5

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> s 4(S)-[3-[2-(dimethylamino)ethyl]-1H-indol-5-ylmethyl]oxazolidin-2-one MISSING OPERATOR '-[3-[2-(DIMETHYLAM'

=> s 4(S)-[3-[2-(dimethylamino)ethyl]-1H-indol-5-ylmethyl]oxazolidin-2-one/CN MISSING OPERATOR '-[3-[2-(DIMETHYLAM'

=> s "4(S)-[3-[2-(dimethylamino)ethyl]-1H-indol-5-ylmethyl]oxazolidin-2-one"/CN L9 0 "4(S)-[3-[2-(DIMETHYLAMINO)ETHYL]-1H-INDOL-5-YLMETHYL]OXAZOLIDIN -2-ONE"/CN

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Match level :

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## L10 STRUCTURE UPLOADED

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Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1 TO 80 PROJECTED ANSWERS: 1 TO 80

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L11 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2008 ACS on STN

RN 139264-17-8 REGISTRY

ED Entered STN: 28 Feb 1992

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (S)-

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CN (S)-4-[[3-[2-(Dimethylamino)ethyl]-1H-indol-5-yl]methyl]-2-oxazolidinone

CN 311C90

CN Asco Top

CN BW 311C90

CN Zolmitriptan

CN Zomig

FS STEREOSEARCH

MF C16 H21 N3 O2

CI COM

SR CA

LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, DDFU, DRUGU, EMBASE, IMSCOSEARCH, IMSDRUGNEWS, IMSPATENTS, IMSPRODUCT, IMSRESEARCH, IPA, MEDLINE, MRCK\*, PATDPASPC, PHAR, PROMT, PROUSDDR, PS, RTECS\*, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL

(\*File contains numerically searchable property data)

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

449 REFERENCES IN FILE CA (1907 TO DATE)
7 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
452 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus
COST IN U.S. DOLLARS

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FILE COVERS 1907 - 28 Feb 2008 VOL 148 ISS 9 FILE LAST UPDATED: 27 Feb 2008 (20080227/ED)

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http://www.cas.org/infopolicy.html

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(FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008)

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                                                                  A3 19941205
OTHER SOURCE(S):
                         CASREACT 116:174136; MARPAT 116:174136
ΤТ
     139264-17-8P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of, as serotonin agonist)
     139264-17-8 CAPLUS
RN
CN
     2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,
     (4S) - (CA INDEX NAME)
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Absolute stereochemistry.

AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = 0, S, NH, CH2; Y = 0, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnCl2 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with C1(CH2)3CH(OMe)2 and the resulting (indolyl)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = 0; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

=> FIL STNGUIDE COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 6.89 51.94 SINCE FILE DISCOUNT AMOUNTS (FOR OUALIFYING ACCOUNTS) TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -0.80-0.80

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Feb 22, 2008 (20080222/UP).

=> log hCOST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.36 52.30 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -0.80

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 16:24:42 ON 28 FEB 2008

Welcome to STN International! Enter x:X LOGINID: ssptasxs1626 PASSWORD: \* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \* SESSION RESUMED IN FILE 'STNGUIDE' AT 16:58:48 ON 28 FEB 2008 FILE 'STNGUIDE' ENTERED AT 16:58:48 ON 28 FEB 2008 COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS) SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION FULL ESTIMATED COST 0.36 52.30 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE 0.00 -0.80=> d his (FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008) FILE 'CAPLUS' ENTERED AT 14:09:03 ON 28 FEB 2008 E ZOLMITRIPTAN L1486 S E3 L2 1 S L1 AND CRYSTALLINE L3 10 S L1 AND CRYSTAL 0 S L1 AND POLYMORPH L4E N-DESMETHYLZOLMITRIPTAN FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008 E ZOLMITRIPTAN/CN FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008 S E3 FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008 L51 S E3/CN FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008 452 S L5 L6 L7 2 S L6 AND CRYSTALL####### 4 S L6 AND POLYMORPH#### 1.8 FILE 'STNGUIDE' ENTERED AT 14:15:41 ON 28 FEB 2008 FILE 'REGISTRY' ENTERED AT 16:12:49 ON 28 FEB 2008 L9 0 S "4(S)-[3-[2-(DIMETHYLAMINO)ETHYL]-1H-INDOL-5-YLMETHYL]OXAZOLI L10 STRUCTURE UPLOADED L11 1 S L10 EXACT SAM FILE 'CAPLUS' ENTERED AT 16:19:44 ON 28 FEB 2008 L12 452 S L11

FILE 'STNGUIDE' ENTERED AT 16:21:17 ON 28 FEB 2008

L12 ANSWER 452 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:174136 CAPLUS

DOCUMENT NUMBER: 116:174136

TITLE: Preparation of [(oxazolidinonylalkyl)indolyl]ethylamin

es and related compounds as serotonin agonists

INVENTOR(S): Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert

Charles; Martin, Graeme Richard

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
WO 9118897 W: AU, BR, CA	A1 19911212 FI, HU, JP, KR	2 WO 1991-GB908 , MC, NO, PL, SU, US	19910606
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ΙT 139264-17-8P

> RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as serotonin agonist)

139264-17-8 CAPLUS RN

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S) - (CA INDEX NAME)

Absolute stereochemistry.

GΙ

AΒ Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = 0, S,NH, CH2; Y = O, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzy1)-1,3oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnC12 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with  ${\rm Cl}({\rm CH2})\,{\rm 3CH}({\rm OMe})\,{\rm 2}$  and the resulting (indoly1)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = O; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal

## effect. II.HCl orally at 50~mg/kg/day for 15~days was not toxic to cynomolgus monkeys. Formulations of I were prepared

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L12 ANSWER 452 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:174136 CAPLUS

DOCUMENT NUMBER: 116:174136

TITLE: Preparation of [(oxazolidinonylalkyl)indolyl]ethylamin

es and related compounds as serotonin agonists

INVENTOR(S): Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert

Charles; Martin, Graeme Richard

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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SK 281621 CA 2282890 AT 204275 SI 21560 NO 9200494 NO 300634	7	20010915	RU 1995-112537 SK 1991-1727 CA 1991-2282890 AT 1994-115107 SI 1991-19001 NO 1992-494	19910606		
SI 21560	A	20050228	51 1991-19001 NO 1003 404	19910606		
NO 9200494	A D1	19920330	NO 1992-494	19920206		
NO 300634	B1	19970630	FI 1992-503	1000000		
FI 105686	B1	20000929		19920206		
US 5399574	A	19950321	US 1992-838233	19920303		

LT 3264	В	19950525	LT	1993-419		19930315
LV 10274	В	19950420	LV	1993-872		19930630
US 5466699	А	19951114	US	1994-341206		19941205
US 5863935	A	19990126	US	1995-471229		19950606
FI 9600155	A	19960112	FΙ	1996-155		19960112
FI 106262	В1	20001229				
FI 200001406	A	20000613	FΙ	2000-1406		20000613
PRIORITY APPLN. INFO.:			GB	1990-12672	А	19900607
			GB	1991-2182	А	19910201
			CA	1991-2064815	А3	19910606
			EP	1991-911486	А3	19910606
			ΙL	1991-98392	А3	19910606
			WO	1991-GB908	А	19910606
			FΙ	1992-503	А	19920206
				1992-838233	A3	19920303
				1994-341206	_	19941205
OTHER SOURCE (S).	CASDE	NCT 116•17/11		MADDAT 116 • 17/1136	_	

OTHER SOURCE(S): CASREACT 116:174136; MARPAT 116:174136

IT 139264-17-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as serotonin agonist)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

GΙ

AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = 0, S, NH, CH2; Y = 0, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnC12 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with

C1(CH2)3CH(OMe)2 and the resulting (indoly1)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = 0; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

L12 ANSWER 1 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:226049 CAPLUS

TITLE: Pharmaceutical films containing drug particles and

polymers and taste-masking agents

INVENTOR(S): Yang, Robert K.; Fuisz, Richard C.; Myers, Garry L.;

Fuisz, Joseph M.

PATENT ASSIGNEE(S): Monosolrx LLC, USA

SOURCE: U.S. Pat. Appl. Publ., 74pp., Cont.-in-part of U.S.

Ser. No. 856,176. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PA:	TENT				KIN:		DATE APPLICATION NO.						DATE						
US	2008				A1	_	2008			 US 2	 007-	 7754			2	0070	710		
WO	2003	0308	81		A1		2003	0417		WO 2	002-	US32	542		2	0021	011		
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
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WO	2003	0308	82		A1		2003	0417	WO 2002-US32575						20021011				
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WO	2003	0308	83		A1		2003	0417		WO 2	002-	US32	594		2	0021	011		
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US	2004	2588	96		A1		2004	1223		US 2	004-	7688	09		2	0040	130		
US	2005	0370	55		A1		2005	0217		US 2	004-	8561	76		2	0040	528		
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CA	CA 2544776				A1		2006	0323		CA 2	004-	2544	776		2	0040	528		

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WO 2006031209
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             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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                                20060704
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                                            CN 2004-80017896
     CN 1812773
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     JP 2007500252
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                                            JP 2006-535323
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                                            NO 2005-6060
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                                             IN 2005-KN2661
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PRIORITY APPLN. INFO.:
                                            US 2002-371940P
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                                                                    20020927
                                             WO 2002-US32542
                                                                 A2 20021011
                                             WO 2002-US32575
                                                                 A2 20021011
                                             WO 2002-US32594
                                                                 A2 20021011
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                                                                 Ρ
                                                                    20030130
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                                             US 2004-768809
                                                                 A2 20040130
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                                                                 A2 20040528
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                                             US 2001-328868P
                                                                    20011012
                                             US 2002-74272
                                                                 A 20020214
                                            WO 2004-US17076
                                                                 W
                                                                   20040528
     INDEXING IN PROGRESS
ΙT
ΙT
     139264-17-8, Zomiq
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (pharmaceutical films containing drug particles and polymers and
        taste-masking agents)
     139264-17-8 CAPLUS
RN
     2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,
CN
     (4S) - (CA INDEX NAME)
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Absolute stereochemistry.

AB The present invention relates to rapid dissolve thin film drug delivery compns. for the oral administration of active components. The active components are provided as taste-masked or controlled-release coated particles uniformly distributed throughout the film composition. The compns. may be formed by wet casting methods, where the film is cast and controllably dried, or alternatively by an extrusion method.

L12 ANSWER 2 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2008:126376 CAPLUS

DOCUMENT NUMBER: 148:175836

TITLE: Methods and compositions of gene delivery to

epithelial cells through bile acid peptide conjugate

delivery agents for systemic and local therapy

INVENTOR(S): Hilfinger, John; Kish, Phillip; Roessler, Blake

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 49pp., Cont.-in-part of U.S.

Ser. No. 706,738. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
US 2008026077	A1	20080131	US 2006-608370		20061208
US 2005026859	A1	20050203	US 2003-706738		20031112
PRIORITY APPLN. INFO.:			US 2002-425379P	P	20021112
			US 2003-706738	Α2	20031112
			HS 2005-748390P	P	20051208

IT 139264-17-8, Zolmitriptan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods and compns. of gene delivery to epithelial cells through bile acid peptide conjugate delivery agents for systemic and local therapy)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

A method is provided for the delivery of a therapeutic to epithelial cells AΒ through the use of a bile acid conjugated to a peptide, the peptide being ionically charged at physiol. pH. The complex is well suited for oral and other forms of therapeutic administration of therapeutic drugs known in the art in order to exact systemic and/or localized effect. Intestinal epithelial cells, as well as non-epithelial cells within the gastrointestinal tract and other target cells receive with greater efficiency a charged therapeutic when delivered with an oppositely charged bile acid conjugate (BAC) through oral administration, direct injection, or infusive administrations, thereby increasing bioavailability. Thus, BAC was synthesized by solid phase chemical: a six L-arginine peptide was first synthesized on the resin bed using standard 9-fluorenylmethoxycarbonyl (FMOC) chemical To attach the bile acid salt, an excess of chendoxycholic acid was added to the resin and allowed to react with the immobilized peptide; after conjugation, the N-hexapeptide chenoxycholamide BAC was cleaved from the resin and purified to greater than 95% purity by HPLC.

L12 ANSWER 3 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:125879 CAPLUS

DOCUMENT NUMBER: 148:175832

TITLE: Anti-migraine oral spray formulations comprising sumatriptan succinate in a potassium phosphate buffer,

and methods

INVENTOR(S): Blondino, Frank E.; Chen, Carrie; Malitz, Howard;

Opawale, Foyeke

PATENT ASSIGNEE(S): Novadel Pharma Inc., USA SOURCE: PCT Int. Appl., 51pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PR IT

RN

CN

PA					KIN	D	DATE		,						DATE		
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		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
		MG,	MK,	MN,	MW,	MX,	MY,	ΜZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,
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		IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,
		GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AZ,
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM									
US	3 2008	0319	59		A1		2008	0207		US 2	007-	8293	96		2	0070	727
RII	ry app	LN.	INFO	.:						US 2	006-	8338	47P		P 2	0060	728
13	39264-	17-8	, Zo	lmit	ript	an											
RI	L: PAC	(Ph	arma	colo	gica	l ac	tivi	ty);	PKT	(Ph	arma	coki	neti	cs);	THU		
( ]	[herap	euti	c us	e);	BIOL	(Bi	olog	ical	stu	dy);	USE	S (U	ses)				
	(ant	i-mi	grai	ne o	ral	spra	y fo	rmul.	atio	ns c	ompr	isin	g su	matr	ipta	n su	ccina
	in a	pot	assi	um p	hosp	hate	buf	fer,	and	met	hods	)					
13	39264-	17-8	CA	PLUS													

2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,

Absolute stereochemistry.

(4S) - (CA INDEX NAME)

AB Formulations of an active pharmaceutical agent suitable for oral spray administration for absorption through the oral mucosa and related methods of preparation and administration are provided. Preferred embodiments provide sumatriptan succinate in a potassium phosphate buffer, wherein when a unit dose volume of about 50 to about 600 mcL of the oral spray composition is sprayed, a blood concentration of greater than about 5 ng/mL of sumatriptan is reached within about six minutes post dosing. Thus, pharmacokinetic parameters for oral mucosal spray delivery (20 mg lingual spray dose in a spray volume of 240 mcL or 30 mg lingual spray dose in a spray volume of 360 mcL) and oral tablet (50 mg) administration of sumatriptan formulations were measured and evaluated. Administration of the 20 mg lingual formulation resulted in a first peak blood concentration of about 11 ng/mL at about six minutes post dosing and a second peak blood concentration of about 12 ng/mL at about 90 min post dosing. In contrast, the 50 mg tablet dose

each resulted in a single peak blood concentration of about 27  $\mathrm{ng/mL}$  at about

1 h

post dosing.

L12 ANSWER 4 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:72028 CAPLUS

DOCUMENT NUMBER: 148:168705

TITLE: An improved process for purification of zolmitriptan

INVENTOR(S): Kompella, Amala Kisham; Rachakonda, Sreenivas;

Adibhatla Kali Satya, Bhujanga Rao; Venkaiah Chowdary,

Nannapaneni

PATENT ASSIGNEE(S): Natco Pharma Limited, India

SOURCE: PCT Int. Appl., 10pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATE	.OV			KIND DATE					APPL	ICAT		DATE					
	WO 2	2008	0073	90		A2	_	2008	0117		WO 2	007-	IN26	7		2	0070	629
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			GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,
			KΜ,	KN,	KΡ,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,
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								US,										
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			GH,	GM,	KΕ,	LS,	MW,	${ m MZ}$ ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AZ,
			BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM									
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PRIO	RITY	APP:	LN.	INFO	.:						IN 2	006-	CH12	03		A 2	0060	710
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	RL:	IMF	(In	dust:	rial	man <sup>-</sup>	ufac	ture	); P	JR (	Puri	fica	tion	or :	reco	very	); Si	PN
(Synthetic preparation); PREP (Preparation)																		
	(	(prej	para	tion	and	d purification of zolmitriptan)												
RN	1392	264-	17-8	CA:	PLUS													
CN						4-[[3-[2-(dimethyla NAME)				.amino)ethyl]-1H-indol-5					1-5-	yl]m	ethy	1]-,

Absolute stereochemistry.

AB This document discloses a process for the purification of zolmitriptan comprising the steps of : (a) extracting the impurity with chloroform by adjusting the pH of the reaction mass to 7 at room temperature; (b) extracting the

product with chloroform by adjusting the reaction mass pH to 10 at room temperature; (c) decolorizing the chloroform layer; (d) isolating the crude zolmitriptan by distilling off the chloroform layer, filtering, and drying;

(e) dissolving the crude zolmitriptan in refluxing aqueous acetonitrile; (f) slowly cooling the solution to about  $0^{\circ}C$ ; (g) filtering the product and washing it; (h) dissolving the product in refluxing isopropanol; (i) decolorizing the isopropanol solution using charcoal; (j) concentrating the isopropanol solution and adding water; (k) filtering the product; (l) washing the product and drying it. Zolmitriptan is a known drug for the treatment and prophylaxis of migraine. Thus, zolmitriptan was prepared from (S)-4-(4-aminobenzyl)-2-oxazolidinone and 4,4-diethoxy-N,Ndimethylbutylamine. The crude zolmitriptan was dissolved in a refluxing mixture of water and acetonitrile, treated with charcoal, and then filtered; the solution was slowly cooled and stirred for 8 h; the product was then filtered, washed with water, and dried at 50°C; the resulting solid was dissolved in refluxing isopropanol, treated with charcoal, and filtered; the filtrate was concentrated, cooled, mixed with water, and stirred for 2 h before filtering the product which was washed with water and dried in vacuum at  $50^{\circ}$ C to give zolmitriptan as white powder (purity: 99.87%).

L12 ANSWER 5 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:70811 CAPLUS

DOCUMENT NUMBER: 148:152047

TITLE: Processes for preparing pharmaceutical compositions of

triptans for treating migraine and/or headache

INVENTOR(S): Duncalf, David John; Rannard, Steven Paul; Long,

James; Wang, Dong; Elphick, Andrew James; Staniforth,

John; Foster, Alison Jayne

PATENT ASSIGNEE(S): Unilever PLC, UK

SOURCE: PCT Int. Appl., 40pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PATENT NO.					KIND DATE		APPLICATION NO.					DATE						
WO 2008007151					A2		20080117		,	WO 2007-GB50408						20070713		
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,	
		CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,	
		GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	
		KM,	KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	
		MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	
		PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	
		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW					
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	
		GH,	GM,	KΕ,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AZ,	
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM										
WO	2008	0067	12		A2					WO 2007-EP56560					20070629			
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BH,	BR,	BW,	BY,	BΖ,	CA,	
							CZ,										•	
							GT,											
		KΜ,	KN,	KΡ,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	
		•	•	•	•	,	MY,	•	•	,	,	,	•	,	,		•	
							SD,		•	•	•	•		SY,	ΤJ,	TM,	TN,	
		,	•	•	,	,	US,	,	,	,	,	,						
	RW:	,	,	,	,	,	CZ,	,	,	,	,	,	,	,	,	,	,	
		•	•	•	•	•	MC,	•	•	•	•	•	•	•	•	•	•	
		,				•	GA,									,	•	
		,	,	,	,	,	MZ,	,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	AZ,	
		BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM										

PRIORITY APPLN. INFO.:

GB 2006-13925 A 20060713 WO 2007-EP56560 A 20070629

IT 139264-17-8, Zolmitriptan

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of nanodispersion of water-insol. triptan using water-soluble carrier and spray drying for treatment of headache and/or migraine)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

AB A process for the production of a composition comprising a water-insol. triptan comprises the steps of (a) providing a mixture comprising (i) a water-insol. triptan, (ii) a water soluble carrier, and (iii) a solvent for each of the triptan and the carrier, and (b) spray-drying the mixture to remove the solvent and obtain a substantially solvent-free nano-dispersion of the triptan in the carrier. A composition further comprises an analgesic agent, such as an NSAID, and an anti-nausea agent for use in treating migraine and/or headache. Thus, 0.40 g sumatriptan, 1.00 g Klucel EF, 0.44 g HPMC, and 0.16 g Pluronic F68 were all dispersed into 100 mL absolute ethanol, followed by adding 60 mL water resulting in a clear solution. The solution was then spray dried at 120° with the liquid feed rate at 2.5 mL/min. A white free flowing powder was obtained. The dried powder (20 mg) was dispersed into 10 mL water, giving a crystal clear nanodispersion with a particle size of 100 to 500 nm.

L12 ANSWER 6 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:44806 CAPLUS

DOCUMENT NUMBER: 148:85583

TITLE: Pharmaceutical combinations for the treatment of

cephaleas and migraine attacks as well as blisters and

packs

INVENTOR(S): Krymchantowski, Abouch Valent

PATENT ASSIGNEE(S): Brazil

SOURCE: Braz. Pedido PI, 23pp.

CODEN: BPXXDX

DOCUMENT TYPE: Patent LANGUAGE: Portuguese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BR 2005003323	A	20070327	BR 2005-3323	20050809
PRIORITY APPLN. INFO.:			BR 2005-3323	20050809

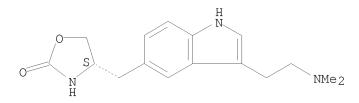
IT 139264-17-8, Zolmitriptan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (trimebutine maleate formulation for headaches)

RN 139264-17-8 CAPLUS

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



An invention involving a pharmaceutical composition containing trimebutine maleate

and an anti-inflammatory or analgesic for the treatment of migraine attacks or other cephaleas.

L12 ANSWER 7 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1303026 CAPLUS

DOCUMENT NUMBER: 147:528170

TITLE: Use of roll compacted pyrogenically produced silicon

dioxide in pharmaceutical compositions

Gray, Ann; Drechsler, Margarete; Hofmann, Ralph INVENTOR(S):

PATENT ASSIGNEE(S): Degussa G.m.b.H., Germany SOURCE: PCT Int. Appl., 53pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

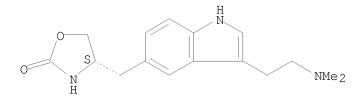
PATENT INFORMATION:

	PATENT NO.				KIND DATE				APPLICATION NO.						DATE			
	WO	2007	 1283	 49		A1 20071115			WO 2006-EP62215					20060510				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚM,	KN,	KP,	KR,
			KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,
			MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,
			SG,	SK,	SL,	SM,	SY,	TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,
			VN,	YU,	ZA,	ZM,	ZW											
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,
			IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ΤJ,	TM										
PRIO	RITY	APP:	LN.	INFO	.:					,	WO 2	006-	EP62.	215		2	0060	510
ΙT	139	264-	17-8	, Zo	lmit:	ript	an											
	RL:	THU	(Th	erap	euti	c us	e);	BIOL	(Bi	olog	ical	stu	dy);	USE	S (U:	ses)		
		(use	of :	roll	com	pact	ed p	yrog	enica	ally	pro	duce	d si	lico:	n di	oxid	e in	
		phari	mace <sup>.</sup>	utic	al c	ompn.	s.)			_	_							

139264-17-8 CAPLUS RN

2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, CN (4S) - (CA INDEX NAME)

Absolute stereochemistry.



AB This invention relates to the use of Schuelpen based on pyrogenically

produced silicon dioxide in pharmaceutical composition

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1287811 CAPLUS

DOCUMENT NUMBER: 148:161250

TITLE: An analysis of results from 305 compounds tested with

the yeast RAD54-GFP genotoxicity assay (GreenScreen GC) - including relative predictivity of regulatory tests and rodent carcinogenesis and performance with

autofluorescent and colored compounds

AUTHOR(S): Knight, Andrew W.; Billinton, N.; Cahill, P. A.;

Scott, A.; Harvey, J. S.; Roberts, K. J.; Tweats, D.

J.; Keenan, P. O.; Walmsley, R. M.

CORPORATE SOURCE: Gentronix Ltd., Manchester, M13 9NT, UK

SOURCE: Mutagenesis (2007), 22(6), 409-416

CODEN: MUTAEX; ISSN: 0267-8357

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal LANGUAGE: English IT 139264-17-8, Zolmitriptan

RL: ADV (Adverse effect, including toxicity); BIOL (Biological study) (relative predictivity of regulatory tests for rodent carcinogenesis and performance of yeast RAD54-GFP genotoxicity assay (GreenScreen GC)

with autofluorescent and colored compds.)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,

(4S) - (CA INDEX NAME)

Absolute stereochemistry.

AB Data from 305 non-proprietary compds. tested using the yeast RAD54-GFP (Green Fluorescent Protein) assay, GreenScreen GC, are presented, together with a detailed comparison with results from in vitro and in vivo genotoxicity tests and rodent carcinogenesis. In addition, observations on reproducibility and the performance of the test with autofluorescent and colored compds. are described. Like the Ames test, the GreenScreen assay is shown to exhibit high specificity (82%), meaning that compds. with pos. results are very likely to be genotoxic carcinogens. This is in contrast to mammalian cell tests established for use in regulatory testing that provide disappointingly low specificity and the inevitable generation of

confounding false pos. data. The anal. confirmed the observations of earlier studies, showing that a combination of an Ames test (or surrogate) with the yeast test provides high specificity as well as high sensitivity in the identification of rodent carcinogens.

L12 ANSWER 9 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

2007:1275036 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 147:508513

Fixed combination dosage forms for the treatment of TITLE:

migraine

INVENTOR(S): Maichle, William R.; Whatley, Carl L.; Reiner,

Giorgio; Reiner, Alberto

PATENT ASSIGNEE(S): Proethic Pharmaceuticals, Inc., USA; Applied Pharma

Research S.A.

SOURCE: PCT Int. Appl., 26pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIND DATE			APPLICATION NO.						DATE				
	WO 2007127207			A2 20071108			WO 2007-US9953						2	0070	425			
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,	CA,
			CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,
			GD,	GE,	GH,	GM,	GΤ,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,
			KN,	KP,	KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	MG,	MK,
			MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,
			RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	ΤJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW						
		RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
			IS,	ΙΤ,	LT,	LU,	LV,	MC,	MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,
			GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,
			BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM									
PRIO:	RITY	APP	LN.	INFO	.:					1	US 2	006-	7952	14P	]	P 2	0060	425
ΙT	139	264-	17-8	, Zo.	lmit:	ript	an											
	RL:	PAC	(Ph	arma	colo	gica	l ac	tivi	ty);	THU	(The	erape	euti	c use	e); ]	BIOL		
	(Bi	olog	ical	stu	dy);	USE	S (U	ses)										
		(fix	ed c	ombi:	nati	on d	osag	e fo	rms :	for ·	the t	treat	tment	t of	mig:	rain	≘)	
RN	139	264-	17-8	CA:	PLUS													
CN	2-0:	xazo	lidi:	none	, 4-	[[3-	[2-(	dime	thyl	amin	o)etl	hyl]	-1H-	indo	1-5-	yl]m	ethy	1]-,
	(4S	) —	(CA	INDE:	X NAI	ME)												

Absolute stereochemistry.

AΒ Therapeutic regimens and dosage forms are disclosed for the treatment of migraine headache. The regimens preferably combine a serotonin receptor agonist, such as sumatriptan, eletriptan or almotriptan, with a fast acting formulation of diclofenac potassium.

L12 ANSWER 10 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1274817 CAPLUS

DOCUMENT NUMBER: 147:508508

TITLE: Novel triptan formulations and methods for making them

INVENTOR(S): Cherukuri, S. Rao

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 14pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.				KIN		DATE			APPLICATION NO.						DATE		
	US 2007259040 WO 2007130373 WO 2007130373			A1 2007110 A2 2007111		1108 1115						20070501						
		GD, KN, MK, RO, TT, : AT, IS, BJ, GH,	CN, GE, KP, MN, RS, TZ, BE, IT, CF, GM,	CO, GH, KR, MW, RU, UA, BG, LT, CG, KE,	CR, GM, KZ, MX, SC, UG, CH, LU, CI, LS,	CU, GT, LA, MY, SD, US, CY, LV, CM, MW,	CZ, HN, LC, MZ, SE, UZ, CZ, MC, GA, MZ,	DE, HR, LK, NA, SG, VC, DE, MT, GN,	DK, HU, LR, NG, SK, VN, DK, NL, GQ, SD,	DM, ID, LS, NI, SL, ZA, EE, PL, GW, SL,	DZ, IL, LT, NO, SM, ZM, ES, PT, ML, SZ,	EC, IN, LU, NZ, SV, ZW FI, RO, MR, TZ,	EE, IS, LY, OM, SY, FR, SE, NE,	EG, JP, MA, PG, TJ, GB, SI, SN,	ES, KE, MD, PH, TM, GR, SK, TD,	FI, KG, ME, PL, TN, HU, TR,	GB, KM, MG, PT, TR, IE, BF, BW,	
-																		
RN CN																		

Absolute stereochemistry.

AB Rapidly disintegrating oral triptan formulations having superior palatability and methods of making such are provided herein. A rapidly disintegrating oral triptan composition can comprise a triptan compound, a resin,

a lubricant, a disintegrant, and a compressible material, where the triptan is admixed with the resin forming a taste-masked triptan composition, which is further admixed with the lubricant, the disintegrant, and the compressible material to form the rapidly disintegrating oral triptan composition

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L12 ANSWER 452 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:174136 CAPLUS

DOCUMENT NUMBER: 116:174136

TITLE: Preparation of [(oxazolidinonylalkyl)indolyl]ethylamin

es and related compounds as serotonin agonists

INVENTOR(S): Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert

Charles; Martin, Graeme Richard

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9118897 W: AU, BR, CA,	A1 FI, HU	19911212 , JP, KR,	WO 1991-GB908 MC, NO, PL, SU, US GB, GR, IT, LU, NL, SE	19910606
CA 2064815	A1	19911208	CA 1991-2064815	19910606
AU 9179570	A	19991116	AU 1991-79570	19910606
AU 646871 EP 486666	B2 A1	19940310 19920527	CA 1991-2064815  AU 1991-79570  EP 1991-911486	19910606
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU, NL,	
R: AT, BE, CH, ZA 9104340 HU 62289 HU 219974 JP 05502679 JP 2738461 EP 636623	A A2 B	19930224 19930428 20011028	ZA 1991-4340 HU 1992-384	19910606 19910606
JP 05502679 JP 2738461	T B2	19930513 19980408	JP 1991-510103	19910606
EP 636623 EP 636623	A1 B1	19950201 20010816	EP 1994-115107	19910606
			GB, GR, IT, LI, LU, NL,	
PL 166214 PL 166799		19950428 19950630		19910606
PL 166800	B1	19950630	PL 1991-305192	19910606
IL 98392	_		TI. 1991–98392	19910606
IL 114690	A	19960119 19970218	IL 1991-98392 IL 1991-114690	19910606
አጥ 156022	т		AT 1991-911486	19910606
ES 2104708	T3	19971016	ES 1991-911486	19910606
RU 211051/	CI	19980510	ES 1991-911486 RU 1991-5011473 RU 1995-112537	19910606
RU 2160736	C2	20001220	RU 1995-112537	19910606
SK 281621	В6	20010510	SK 1991-1727	19910606
CA 2282890	С	20010731	CA 1991-2282890 AT 1994-115107	19910606
AT 204275	T	20010915	AT 1994-115107	19910606
SI 21560	A	20050228	SI 1991-19001	19910606
NO 9200494	A	19920330	NO 1992-494	19920206
NO 300634	В1	19970630		
FI 105686	В1	20000929	FI 1992-503	19920206
US 5399574	A	19950321	US 1992-838233	19920303
LT 3264	В	19950525	LT 1993-419	19930315
LV 10274	В	19950420	LV 1993-872	19930630
US 5466699	A	19951114	US 1994-341206 US 1995-471229	19941205
US 5863935		19990126	US 1995-471229	19950606
FI 9600155	A	19960112	FI 1996-155	19960112
FI 106262	B1	20001229		

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FI 2000001406
                                20000613
                                            FI 2000-1406
                                                                   20000613
                          Α
                                                                A 19900607
PRIORITY APPLN. INFO.:
                                            GB 1990-12672
                                            GB 1991-2182
                                                                A 19910201
                                            CA 1991-2064815
                                                                A3 19910606
                                            EP 1991-911486
                                                                A3 19910606
                                            IL 1991-98392
                                                                A3 19910606
                                            WO 1991-GB908
                                                                Α
                                                                   19910606
                                            FI 1992-503
                                                                A 19920206
                                            US 1992-838233
                                                                A3 19920303
                                            US 1994-341206
                                                                A3 19941205
                         CASREACT 116:174136; MARPAT 116:174136
```

OTHER SOURCE(S):

139264-17-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as serotonin agonist)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S) - (CA INDEX NAME)

Absolute stereochemistry.

GΙ

Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = O, S,AΒ NH, CH2; Y = O, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnCl2 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with  ${\tt C1(CH2)3CH(OMe)2}$  and the resulting (indoly1)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = O; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

L12 ANSWER 442 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:651371 CAPLUS

DOCUMENT NUMBER: 125:315885

TITLE: Emerging preclinical and clinical profile of 311C90: A

poster review and discussion

AUTHOR(S): Ferrari, Michel D.; Martin, Graeme R.; Earl, Nancy L.;

Klein, Kenneth B.

CORPORATE SOURCE: Department Neurology, Leiden University Hospital,

Leiden, NL-2300, Neth.

SOURCE: European Neurology (1996), 36(Suppl. 2, 311C90:

Further Advances in the Pathogenesis and Acute

Treatment of Migraine), 19-23 CODEN: EUNEAP; ISSN: 0014-3022

PUBLISHER: Karger

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

IT 139264-17-8, 311C90

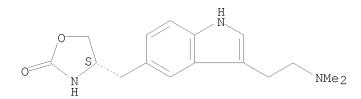
RL: BSU (Biological study, unclassified); BIOL (Biological study) (preclin. and clin. profile of 5-HT1D agonist 311C90 in humans)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,

(4S) - (CA INDEX NAME)

Absolute stereochemistry.



AB A review with 23 refs. discussing central actions of the 5-HT1D receptor agonist 311C90.

L12 ANSWER 443 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:651370 CAPLUS

DOCUMENT NUMBER: 125:292886

TITLE: Inhibition of the trigemino-vascular system with

5-HT1D agonist drugs: Selectively targeting additional

sites of action

AUTHOR(S): Martin, Graeme R.

CORPORATE SOURCE: Wellcome Foundation, Beckenham/Kent, UK

SOURCE: European Neurology (1996), 36(Suppl. 2, 311C90: Further Advances in the Pathogenesis and Acute

Treatment of Migraine), 13-18 CODEN: EUNEAP; ISSN: 0014-3022

PUBLISHER: Karger
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 139264-17-8, 311C90

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use);

BIOL (Biological study); PROC (Process); USES (Uses)

(inhibition of trigemino-vascular system with serotoninergic S1D agonists 311C90 and sumatriptan which selectively targeting addnl.

sites of action in relation to oral bioavailability and migraine attack treatment)

RN 139264-17-8 CAPLUS

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

AB Inappropriate activation of the trigemino-vascular system is thought to be important in the pathogenesis of a migraine attack. The 5-HT1D agonist sumatriptan, which is highly effective in the acute treatment of migraine, inhibits trigemino-vascular activation in animals, although its actions are normally limited to peripheral components of the trigemino-vascular system. 31C90, a novel 5-HT1D agonist drug, which is also highly effective in the acute treatment of migraine, acts not only at these sites, but, addnl. within the brainstem, inhibiting trigemino-vascular activation centrally as well as peripherally. This article describes the pre-clin. development of 31C90 and considers, specifically, the approaches taken in the design of a mol. with attributes which facilitate access to brainstem components of the trigeminal pathway and combine this with good oral bioavailability.

L12 ANSWER 444 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:651369 CAPLUS

DOCUMENT NUMBER: 125:315884

TITLE: Clinical safety of 311C90: Aggregated data from

patients and volunteers to date

AUTHOR(S): Earl, Nancy L.

CORPORATE SOURCE: Glaxo Wellcome, Research Triangle Park, NC, 27709, USA

SOURCE: European Neurology (1996), 36(Suppl. 2, 311C90: Further Advances in the Pathogenesis and Acute

Treatment of Migraine), 8-12

Treatment of Migraine), 8-12 CODEN: EUNEAP; ISSN: 0014-3022

PUBLISHER: Karger

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

IT 139264-17-8, 311C90

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(clin. safety of 311C90 in humans)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

AB A review with 9 refs. The tolerability of 311C90, a novel, selective and highly effective 5-HT1D receptor agonist in development for the acute treatment of migraine, has been evaluated in a number of clin. pharmacol. and patient studies across the dose range 1-50 mg. 311C90 has been well tolerated across the entire dose range and no clin. relevant changes in routine laboratory parameters, blood pressure or ECG recordings have been observed

Adverse experiences reported are generally dose related, mild to moderate and resolve spontaneously. Chest-related symptoms occur infrequently and the cardiovascular safety profile of 311C90 is considered particularly favorable. 311C90, therefore, possesses a desirable safety profile which is well suited to broad-based outpatient administration.

L12 ANSWER 445 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:651368 CAPLUS

DOCUMENT NUMBER: 125:317028

TITLE: The clinical effectiveness of 311C90 in the acute

treatment of migraine

AUTHOR(S): Ferrari, Michel D.

CORPORATE SOURCE: Department Neurology, Leiden University Hospital,

Leiden, NL-2300, Neth.

SOURCE: European Neurology (1996), 36(Suppl. 2, 311C90:

Further Advances in the Pathogenesis and Acute

Treatment of Migraine), 4-7 CODEN: EUNEAP; ISSN: 0014-3022

PUBLISHER: Karger
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 139264-17-8, 311C90

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(clin. effectiveness of 311C90 in the acute treatment of migraine in

humans)

RN 139264-17-8 CAPLUS

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

AB Efficacy with currently marketed antimigraine compds. is less than optimal. 311C90 is a novel and selective 5-HT1D receptor agonist in development for the acute treatment of migraine. It shows evidence of both central and peripheral activity within the trigemino-vascular system and it is rapidly absorbed following oral administration. In clin. studies in migraine patients, a headache response at 2 h has been observed in 65-81% of patients at doses above 1 mg. Favorable response rates are reported as early as 1 h post-dose and efficacy rates continue to improve up to 4 h. Headache recurrence is reported by 25-35% of patients and 311C90 is also effective in relieving the non-headache symptoms of migraine.

ACCESSION NUMBER: 1996:635111 CAPLUS

DOCUMENT NUMBER: 125:257222

TITLE: Methods of treating or preventing psychiatric

disorders

INVENTOR(S): Johnson, Kirk W.; Phebus, Lee A.

PATENT ASSIGNEE(S): Eli Lilly and Co., USA SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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IT 139264-17-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods of treating or preventing psychiatric disorders)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

AB This invention provides methods for the treatment or prevention of psychiatric disorders which comprises administering to a mammal a combination of a tachykinin receptor antagonist and either a serotonin agonist or a selective serotonin reuptake inhibitor. This administration may be concurrent or sequential, with either of the 2 activities being administered first. The psychiatric disorders which may be treated by the methods of the invention include panic disorder, panic attack, depression, anxiety, obsessive-compulsive disorder, post-traumatic stress disorder, borderline personality disorder, etc. Thus, (R)-2-[N-(2-((4cyclohexyl)piperazin-1-yl)acetyl)amino]-3-(1H-indol-3-yl)-1-[N-(2methoxybenzyl)acetylamino]propane was prepared by a series of steps starting from D-tryptophan. Hard gelatin capsules were each prepared containing active ingredient(s) 30.0, starch 305.0, and Mg stearate 5.0 mg. Radioreceptor binding assay studies performed by using the active ingredients on NK-1 or NK-2 receptors showed that the compds. were effective antagonists of these receptors.

L12 ANSWER 447 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:564447 CAPLUS

DOCUMENT NUMBER: 125:264835

TITLE: Determination of the 5-HT receptor agonist 311C90 in

human plasma by LC-MS-MS

AUTHOR(S): Pleasance, S.; Fraser, I. J.; Jones, A. E.; Allanson,

J. A.; Sadra, P.

CORPORATE SOURCE: Division Bioanalysis and Drug Metabolism,

Glaxo-Wellcome, Beckenham/Kent, BR3 3BS, UK

SOURCE: Methodological Surveys in Bioanalysis of Drugs (1996),

24(Biofluid Assay for Peptide-Related and Other

Drugs), 118-125 CODEN: MSBDE6

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal LANGUAGE: English

IT 139264-17-8, 311C90

RL: ANT (Analyte); ANST (Analytical study)

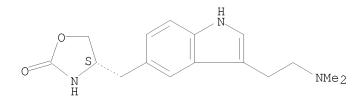
(determination of 5-HT receptor agonist 311C90 in human plasma by LC-MS-MS)

RN 139264-17-8 CAPLUS

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,

(4S) - (CA INDEX NAME)

Absolute stereochemistry.



AB An MS-based method is described for determining the 5-HT receptor agonist 311C90

and its desmethyl metabolite (183C91) in human plasma, with a deuterated analog as i.s. The method employs SPE and LC-MS-MS with APcl and SRM. It offers increased sensitivity, selectivity and speed of anal. compared with an existing method using fluorescence detection (HPLC-fluor).

L12 ANSWER 448 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:498982 CAPLUS

DOCUMENT NUMBER: 125:159254

TITLE: Promotion of cell growth by stimulation of cloned

human 5-HT1D receptor sites in transfected C6-glial cells is highly sensitive to intrinsic activity at  $\,$ 

5-HT1D receptors

AUTHOR(S): Pauwels, Petrus J.; Wurch, Thierry; Palmier,

Christiane; Colpaert, Francis C.

CORPORATE SOURCE: Lab. Cellular and Molecular Neurobiology, Center

Recherche Pierre Fabre, Castres, F-81006, Fr.

SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (1996),

354(2), 136-144

CODEN: NSAPCC; ISSN: 0028-1298

PUBLISHER: Springer
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 139264-17-8, Zolmitriptan

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); BIOL (Biological study)

(human  $5-\mathrm{HT1D}$  receptor stimulation promotion of cell growth in

transfected C6-glial cells)
RN 139264-17-8 CAPLUS
CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,
(4S)- (CA INDEX NAME)

Absolute stereochemistry.

5-Hydroxytryptamine (serotonin, 5-HT), essentially known as a AB neurotransmitter and vasoactive agent, also functions as a mitogen in various cell types through several different second messenger systems. Stimulation of cloned human 5-HT1D receptor sites by sumatriptan in stably transfected rat C6-glial/5-HT1D cells promotes cell growth. In the present study, the pharmacol. of this growth response was investigated using a broad series of 5-HT receptor ligands. The data were compared with the responses obtained by measuring inhibition of forskolin-stimulated cAMP formation. 5-HT promoted cell growth of C6-glial/5-HT1D cells, and this in contrast to the absence of any measurable effect in pcDNA3-plasmid transfected and non-transfected C6-glial cells. The 5-HT effect could be mimicked by the following compds. (EC50 in nM): zolmitriptan (0.41), GR 127935 (0.86), naratriptan (0.92), metergoline (1.9), sumatriptan (2.9), MK-462 (3.0), and R(+)-8-hydroxy-2-(di-n-propylamino) tetralin (R(+)-8-OH-DPAT; 30.7). EC50-values correspond to the compds. binding affinities at the human 5-HT1D receptor site and, with the exception of GR 127935 and metergoline, also to the EC50-values found by measuring over 5 min inhibition of forskolin (100  $\mu$ M)-stimulated cAMP formation. Prolonged exposure of GR 127935 (3 h) and metergoline (30 min) to cells yielded EC50 values in the cAMP assay more close to those measured in the mitogenic response. growth response to sumatriptan, 5-HT, GR 127935 and metergoline was blocked by the apparently silent antagonists methiothepin, ritanserin and ketanserin with potencies similar to blockade of inhibition of stimulated cAMP formation. The 8-OH-DPAT effect also is likely mediated by 5-HT1D receptors; stereoselectivity was found with its enantiomers at this receptor site and the effect was blocked by ketanserin (1  $\mu M$ ) but not by spiperone (1  $\mu$ M). Micromolar concns. of the 5-HT1B receptor agonist CP 93129 and of the 5-HT2 receptor agonist 1-(2,5-dimethoxy-4-iodophenyl)-2-aminopropane (DOI) induced cell growth with a potency that accorded with the affinity of these compds. for the human 5-HT1D receptor site. These effects were sensitive to ketanserin (1  $\mu\text{M}$ ) antagonism, but not to blockade by  $\beta$ -adrenergic blockers and the 5-HT2 receptor antagonist BW 501-C-67. The findings suggest that 5-HT1A, 5-HT1B and 5-HT2 receptors are not implicated in 5-HT-stimulated C6-glial/5-HT1D cell growth. In conclusion, human 5-HT1D receptors are involved in the growth of C6-glial/5-HT1D cells. This cellular response is highly sensitive to the intrinsic activity of compds. at 5-HT1D receptors.

L12 ANSWER 449 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:401715 CAPLUS

DOCUMENT NUMBER: 125:67748

TITLE: Methods of treating migraine with a tachykinin

antagonist and a serotonin agonist

INVENTOR(S): Cohen, Marlene Lois; Johnson, Kirk Willis; Phebus, Lee

Alan

PATENT ASSIGNEE(S): Eli Lilly and Co., USA SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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IT 139264-17-8

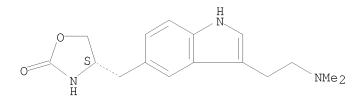
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(methods of treating migraine with a tachykinin antagonist and a serotonin agonist)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB This invention provides methods for the treatment or prevention of migraines which comprises administering to a mammal in need thereof a combination of a tachykinin receptor antagonist and a serotonin agonist. This administration may be concurrent or sequential, with either of the two activities being administered first.

L12 ANSWER 450 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:332098 CAPLUS

DOCUMENT NUMBER: 125:67958

TITLE: The use of automated solid phase extraction in the '96

well' format for high throughput bioanalysis using

liquid chromatography coupled to tandem mass

spectrometry

AUTHOR(S): Allanson, John P.; Biddlecombe, Robert A.; Jones, Anne

E.; Pleasance, Stephen

CORPORATE SOURCE: Dep. Int. Bioanal., Div. Bioanal. Drug Metab., Glaxo

Wellcome Res. Dev., Beckenham, Kent, BR3 3BS, UK SOURCE: Rapid Communications in Mass Spectrometry (1996),

10(7), 811-816

CODEN: RCMSEF; ISSN: 0951-4198

PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English

IT 139264-17-8, 311C90

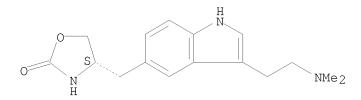
RL: ANT (Analyte); ANST (Analytical study)

(the use of automated solid phase extraction in the '96 well' format for high throughput bioanal. using liquid chromatog. coupled to tandem mass spectrometry)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



AB A high throughput mass spectrometry based method is described for the determination of the 5-HT receptor agonist 311C90, and its desmethyl metabolite,

in human plasma. Samples were extracted using the MicroLuteTM system of solid phase extraction in the '96 well' format, automated by means of a robotic sample processor. The exts. were analyzed by liquid chromatog. tandem mass spectrometry (LC/MS/MS) with thermally assisted electrospray ionization (TurboIonSpray) and selected-reaction monitoring. The LC/MS/MS method offers increased sensitivity, selectivity and speed of anal. over an existing high performance liquid chromatog. method using fluorescence detection.

L12 ANSWER 451 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:746699 CAPLUS

DOCUMENT NUMBER: 123:132007

TITLE: Computer-Aided Design and Synthesis of 5-Substituted

Tryptamines and Their Pharmacology at the 5-HT1D Receptor: Discovery of Compounds with Potential

Anti-Migraine Properties

AUTHOR(S): Buckingham, Janet; Glen, Robert C.; Hill, Alan P.;

Hyde, Richard M.; Martin, Graeme R.; Robertson, Alan

D.; Salmon, John A.; Woollard, Patrick M.

CORPORATE SOURCE: Wellcome Research Laboratories, Beckenham/Kent, BR3

3BS, UK

SOURCE: Journal of Medicinal Chemistry (1995), 38(18), 3566-80

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

IT 139264-17-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(design and synthesis and pharmacol. at  $5-\mathrm{HT1D}$  receptor of tryptamine

derivs.)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

The design and synthesis of a series of novel 5-substituted tryptamines AΒ with pharmacol. activity at 5-HT1D and other monoamine receptors is described. Structural modifications of N- and C-linked (principally hydantoin) analogs at the 5-position were synthesized and their pharmacol. activities were utilized to deduce significant steric and electrostatic requirements of the 5-HT1D and 5-HT2A receptor subtypes. Conformations of the active mols. were computed which, when overlaid, suggested a pharmacophore hypothesis which was consistent with the affinity and selectivity measured at 5-HT1D and 5-HT2A receptors. This pharmacophore is composed of a protonated amine site, an aromatic site, a hydrophobic pocket, and two hydrogen-bonding sites. A "selectivity site" was also identified which, if occupied, induced selectivity for 5-HT1D over 5-HT2A in this series of mols. The development and use of the pharmacophore models in compound design is described. In addition, the physicochem. constraints of mol. size and hydrophobicity required for efficient oral absorption are discussed. Utilizing the pharmacophore model in conjunction with the physicochem. constraints of mol. size and log DpH7.4 led to the discovery of 311C90 (6), a new selective 5-HT1D agonist with good oral absorption and potential use in the treatment of migraine.

L12 ANSWER 452 OF 452 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:174136 CAPLUS

DOCUMENT NUMBER: 116:174136

TITLE: Preparation of [(oxazolidinonylalkyl)indolyl]ethylamin

es and related compounds as serotonin agonists

INVENTOR(S): Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert

Charles; Martin, Graeme Richard

PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK

SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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OTHER SOURCE(S):
                         CASREACT 116:174136; MARPAT 116:174136
    139264-17-8P
ΙT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation of, as serotonin agonist)
RN
     139264-17-8 CAPLUS
CN
     2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-,
     (4S) - (CA INDEX NAME)
```

Absolute stereochemistry.

AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = 0, S, NH, CH2; Y = 0, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1,2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnC12 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with C1(CH2)3CH(OMe)2 and the resulting (indolyl)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = 0; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

## => d his

(FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008)

FILE 'CAPLUS' ENTERED AT 14:09:03 ON 28 FEB 2008 E ZOLMITRIPTAN

L1 486 S E3

L2 1 S L1 AND CRYSTALLINE

L3 10 S L1 AND CRYSTAL

L4 0 S L1 AND POLYMORPH

E N-DESMETHYLZOLMITRIPTAN

FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008 E ZOLMITRIPTAN/CN

FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008 S E3

FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008 L5 1 S E3/CN

FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008

L6 452 S L5

L7 2 S L6 AND CRYSTALL#######

L8 4 S L6 AND POLYMORPH####

FILE 'STNGUIDE' ENTERED AT 14:15:41 ON 28 FEB 2008

FILE 'REGISTRY' ENTERED AT 16:12:49 ON 28 FEB 2008

0 S "4(S)-[3-[2-(DIMETHYLAMINO)ETHYL]-1H-INDOL-5-YLMETHYL]OXAZOLI

L10 STRUCTURE UPLOADED

L11 1 S L10 EXACT SAM

FILE 'CAPLUS' ENTERED AT 16:19:44 ON 28 FEB 2008 L12 452 S L11

FILE 'STNGUIDE' ENTERED AT 16:21:17 ON 28 FEB 2008

FILE 'CAPLUS' ENTERED AT 16:59:38 ON 28 FEB 2008

FILE 'STNGUIDE' ENTERED AT 16:59:39 ON 28 FEB 2008

FILE 'CAPLUS' ENTERED AT 16:59:57 ON 28 FEB 2008

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FILE 'CAPLUS' ENTERED AT 17:00:30 ON 28 FEB 2008

FILE 'STNGUIDE' ENTERED AT 17:00:32 ON 28 FEB 2008

## => s 112/prep

T.9

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=> file req

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.24	184.96
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-20.00

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STRUCTURE FILE UPDATES: 27 FEB 2008 HIGHEST RN 1005551-32-5 DICTIONARY FILE UPDATES: 27 FEB 2008 HIGHEST RN 1005551-32-5

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

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http://www.cas.org/support/stngen/stndoc/properties.html

## => d his

L5

L10

(FILE 'HOME' ENTERED AT 14:08:46 ON 28 FEB 2008)

FILE 'CAPLUS' ENTERED AT 14:09:03 ON 28 FEB 2008

E ZOLMITRIPTAN

L1 486 S E3

L2 1 S L1 AND CRYSTALLINE

L3 10 S L1 AND CRYSTAL

L4 0 S L1 AND POLYMORPH

E N-DESMETHYLZOLMITRIPTAN

FILE 'REGISTRY' ENTERED AT 14:12:00 ON 28 FEB 2008 E ZOLMITRIPTAN/CN

FILE 'CAPLUS' ENTERED AT 14:12:00 ON 28 FEB 2008 S E3

FILE 'REGISTRY' ENTERED AT 14:12:06 ON 28 FEB 2008 1 S E3/CN

FILE 'CAPLUS' ENTERED AT 14:12:06 ON 28 FEB 2008

L6 452 S L5

L7 2 S L6 AND CRYSTALL#######

L8 4 S L6 AND POLYMORPH####

FILE 'STNGUIDE' ENTERED AT 14:15:41 ON 28 FEB 2008

FILE 'REGISTRY' ENTERED AT 16:12:49 ON 28 FEB 2008

L9 0 S "4(S)-[3-[2-(DIMETHYLAMINO)ETHYL]-1H-INDOL-5-YLMETHYL]OXAZOLI

STRUCTURE UPLOADED

L11 1 S L10 EXACT SAM

FILE 'CAPLUS' ENTERED AT 16:19:44 ON 28 FEB 2008 L12 452 S L11

FILE 'STNGUIDE' ENTERED AT 16:21:17 ON 28 FEB 2008

FILE 'CAPLUS' ENTERED AT 16:59:38 ON 28 FEB 2008

FILE 'STNGUIDE' ENTERED AT 16:59:39 ON 28 FEB 2008

FILE 'CAPLUS' ENTERED AT 16:59:57 ON 28 FEB 2008

FILE 'STNGUIDE' ENTERED AT 16:59:59 ON 28 FEB 2008

FILE 'CAPLUS' ENTERED AT 17:00:30 ON 28 FEB 2008

FILE 'STNGUIDE' ENTERED AT 17:00:32 ON 28 FEB 2008

FILE 'REGISTRY' ENTERED AT 17:02:43 ON 28 FEB 2008

## => s 112/prep

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You may have tried to apply a field code to a term that already has a field code. You can only add a field code to a term that has no field code appended to it.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

0.46 185.42

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION
0.00 -20.00

CA SUBSCRIBER PRICE

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FILE COVERS 1907 - 28 Feb 2008 VOL 148 ISS 9 FILE LAST UPDATED: 27 Feb 2008 (20080227/ED)

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http://www.cas.org/infopolicy.html

=> s 112 and preparation 1584849 PREPARATION

L13 26 L12 AND PREPARATION

=> d 113 ibib abs hitstr 16-26

L13 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:612094 CAPLUS

DOCUMENT NUMBER: 143:133403

TITLE: Amino-substituted diaryl[a,d]cycloheptene analogs as

muscarinic agonists, their preparation and

use in the treatment of neuropsychiatric disorders

INVENTOR(S): Ek, Fredrik; Olsson, Roger; Ohlsson, Joergen

PATENT ASSIGNEE(S): Acadia Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

FAMILI ACC. NOM. COONI

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PRIORITY APPLN. INFO.:
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                                          US 2004-548604P
                                                             A1 20041221
                                          US 2004-19555
                                          WO 2004-US43224 W 20041221
OTHER SOURCE(S):
                       MARPAT 143:133403
```

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AΒ The invention relates to a group of novel amino-substituted dibenzazepines I, benzazepines II and related clozapine analogs, which are agonists of muscarinic receptors. In compds. I and II, W is N, CH, O, or S; Y is N, O, or CH; R1, R6, and R7 are independently absent or selected from H, halo, amino, (un) substituted C1-20 alkyl, (un) substituted C3-8 cycloalkyl, (un) substituted aryl, etc., or R1R6 is -CH2CH2-; each R2, R3, R4, and R5 is independently selected from H, halo, (un) substituted C1-6 alkyl, (un) substituted C1-6 alkoxy, cyano, etc., or R2 and R3, or R3 and R4, or R4 and R5 taken together, along with the ring carbons to which they are attached, form a 5- or 6-membered cycloalkyl, heterocyclyl or heteroaryl ring, or a 6-membered aryl ring; Z is (un)substituted NH, O, S, or CH2; and R8 and R9 are independently selected from H, halo, (un) substituted C1-6 alkyl, (un)substituted C1-6 alkoxy, cyano, etc., or R8 and R9 taken together, along with the ring carbons to which they are attached, form a 5- or 6-membered cycloalkyl, heterocyclyl or heteroaryl ring, or a 6-membered aryl ring; including pharmaceutically acceptable salts, esters, amides or prodrugs of these, provided that compound I is not clozapine or N-desmethylclozapine. The invention also relates to the preparation of I, preparation of a combinatorial library of compds. I, pharmaceutical compns. containing compound I with a physiol. acceptable carrier, diluent, or excipient,

optionally including a neuropsychiatric agent as well as to the use of the compns. for treating neuropsychiatric disorders. Substitution of 4-chloro-2-fluoronitrobenzene with 2-amino-5-chlorobenzoic acid followed by reduction of the nitro group, ring-closing coupling, and condensation with piperazine gave dibenzodiazepine III. The compds. of the invention express efficacy (eff) at muscarinic M1 receptors in the range of -11 to 92 and potency (expressed as pEC50) of 5.5 to 7.2; the compds. had eff at M2 receptors of -14 to 187 and pEC50 of 5.4 to 6.6.

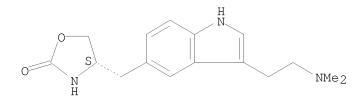
IT 139264-17-8, Zolmitriptan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of amino-substituted diarylcycloheptene analogs as muscarinic agonists and methods of treatment of neuropsychiatric disorders)

RN 139264-17-8 CAPLUS

2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, CN (4S) - (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

2005:136493 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 142:240471

Preparation of benzodiazepine derivatives as TITLE:

CGRP receptor antagonists

INVENTOR(S): Burgey, Christopher S.; Stump, Craig A.; Williams,

Theresa M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE		
	2005 2005									WO 2	004-	 US20	209		2	0040	624	
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								MA,										
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
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HER SO	OURCE	(S):			CAS:	REAC	T 14	2:24							_			

GΙ

Benzodiazepine derivs. of formula I [R1 = H, alkyl, cycloalkyl, aryl, etc.; R2 = H, alkyl, cycloalkyl, aryl, etc.; R3 = H, alkyl, CO2H, alkoxycarbonyl; R4 = H, alkyl, cycloalkyl, aryl, etc.; R5 = H, alkyl, cycloalkyl, etc.; n = 1-4; m = 1-9; p = 1-4; W = O, (substituted) NH, (substituted) CH2; X = C, S; Y = O, NCONH2, etc.; G, J = N, NCH2, etc.; Q, T, U, V = CH, N; with provisos] are prepared as antagonists of CGRP receptors, and are useful in the treatment or prevention of diseases in which the CGRP is involved, such as headache, migraine and cluster headache. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which CGRP is involved. Thus, II was prepared in several steps. The prepared compds. had IC50 values < 50  $\mu$ M against CGRP receptor.

ΙI

Ι

IT 139264-17-8, Zolmitriptan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic agent for co-administration with benzodiazepines)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:14369 CAPLUS

DOCUMENT NUMBER: 142:114110

TITLE: Preparation of benzodiazepine CGRP receptor

antagonists

INVENTOR(S): Burgey, Christopher S.; Stump, Craig A.; Williams,

Theresa M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA PCT Int. Appl., 86 pp. CODEN: PIXXD2 SOURCE:

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

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JP	2007	5161	82		T		2007	0621		JP 2	006-	51/5	9 /		2	0040	624	
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OTHER SO	JUKCE	(5):			CAS.	KLAC	1 14	Z <b>:</b> 11	4110	; MA	KPAT	142	:114	TIU				

$$(R^{2})_{1?4} \xrightarrow{R^{1}}_{N} \xrightarrow{O}_{W-X-W-N} \xrightarrow{(R^{3})_{1?9}}_{N} \xrightarrow{I}_{N}$$

$$\begin{array}{c|c}
\text{Et} \\
\text{N} \\
\text{O}
\end{array}$$

$$\begin{array}{c|c}
\text{N} \\
\text{O}
\end{array}$$

$$\begin{array}{c|c}
\text{N} \\
\text{N} \\
\text{O}
\end{array}$$

Title compds. I [R1 = H, alk(en/yn)yl, etc.; R2 = H, alkyl, cycloalkyl, etc.; R7 = H, alk(en/yn)yl, etc.; W = O, amino, alkyl; X = C, S; Y = O, NCN, etc.; R3 = H, alkyl, CN, etc.; R6 = H, alkyl, cycloalkyl, etc.; G-J = N, N-alkyl, etc.] are prepared For instance, II is prepared from (R)-3-amino-1-ethyl-2-oxo-5-phenyl-2,3-dihydro-1H-1,4-benzodiazepine oxalate, p-nitrophenylchloroformate and 3-(piperidin-4-yl)-3,4-dihydroquinazolin-2(1H)-one hydrochloride. Compds. I exhibit affinity for the CGRP receptor with an IC50 of less than  $50\mu M$ . I, alone or in combination with other agents, are useful for the treatment of diseases in which the CGRP is involved, such as headache, migraine and cluster headache.

IT 139264-17-8, Zolmitriptan

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination pharmaceutical; preparation of benzodiazepine CGRP receptor antagonists for headaches)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:606453 CAPLUS

DOCUMENT NUMBER: 141:140421

TITLE: Preparation of of (S)-4-(4-aminobenzyl)-2-

oxazolidinone

INVENTOR(S): Rao, Adibhatla Kali Satya Bhujanga; Nannapaneni,

Venkaiah Chowdary; Amala, Kompella; Thungathurthy,

Srinivasa Rao

PATENT ASSIGNEE(S): Natco Pharma Limited, India

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

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	OM, PG, PI					PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,
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	AU 20	032	7859	93		A1		2004	0810	1	AU 2	003-	2785	93		2	0031	021
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										1	WO 2	003-	IN34:	1	I	W 2	0031	021

OTHER SOURCE(S): CASREACT 141:140421

AB The invention disclosed in this application relates to an improved process for the preparation of the title compound (I) by preparation of 4-nitro-(S)-phenylalaninol by conventional methods. (ii) reducing the nitro compound, (iii) reacting the resulting 4-amino-(S)-phenylalaninol with dialkyl carbonate at a temperature in the range of 80-200 °C. to produce I. I is useful for the preparation of zolmitriptan which is an important drug for the treatment of migraine.

IT 139264-17-8P, Zolmitriptan

RL: PNU (Preparation, unclassified); PREP (Preparation) (preparation of (S)-4-(4-aminobenzyl)-2-oxazolidinone)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:220186 CAPLUS

DOCUMENT NUMBER: 140:276172

TITLE: Taste masked dosage forms comprising acrylic polymers

and processes for their preparation

INVENTOR(S): Murpani, Deepak; Arora, Vinod Kumar; Malik, Rajiv

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

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DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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RIT)	APP:	LN.	INFO	.:							2002-		-	_		0020	
										WO 2	2003-	IB37	79	I	W 2	0030	904

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AB The invention relates to taste masked dosage forms utilizing low amts. of taste masking polymer, and simple and economical processes for the preparation of the taste masked dosage forms. The taste-masked dosage form includes one or more drugs and one or more cationic polymers synthesized from dimethylaminoethyl methacrylate and neutral methacrylic acid esters. The wt/wt ratio of the drug to polymer is less than about one to two. Hard gelatin capsules contained topiramate 15, Eudragit EPO 26, Et cellulose (low viscosity) 3.7, titanium dioxide 1.0, nonpareil seeds 45.3, talc 8.9, iso-Pr alc./water (3:1) q.s. 100%.

IT 139264-17-8, Zolmitriptan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (taste masked dosage forms comprising acrylic polymers and processes for their preparation)

RN 139264-17-8 CAPLUS

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:143143 CAPLUS

DOCUMENT NUMBER: 140:181327

TITLE: Process for the preparation of zolmitriptan

compounds via Fischer indole synthesis

INVENTOR(S): Dalmases Barjoan, Pere; Armengol Asparo, Montserrat

Laboratorios Vita, S. A., Spain PATENT ASSIGNEE(S):

PCT Int. Appl., 29 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT :	NO.			KINI	D	DATE			APPL	ICAT	ION I	.OV.		D.	ATE	
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GΙ

AΒ The invention relates to zolmitriptan I (R1 = NMe2, R2 = H) and a pharmaceutically acceptable salt thereof prepared from (aminobenzyl)oxazolidinone II•HCl (R3 = NH2) via (a) preparation of hydrazine III (II, R3 = NHNH2) and subsequent in situ reaction of the hydrazine III with  $\alpha$ -keto- $\delta$ -valerolactone, to give the hydrazone IV; (b) submission of the hydrazone IV to the Fischer indole synthesis to give the pyranoindolone of formula V; (c) transesterification of the pyranoindolone V to provide indole VI (I, R1 = OH, R2 = -CO2-alkyl, alkyl = C1-C4); (d) conversion of the hydroxyl group of the compound VI into dimethylamino to give the indolecarboxylate VII (I, R1 = NMe2, R2 = -CO2-alkyl, alkyl = C1-C4); (e) saponification of the VII to provide indolecarboxylic acid VIII (I, R1 = NMe2, R2 = CO2H); and (f) decarboxylation of VIII. Prior methods for the preparation of zolmitriptan compds. are either not applicable at industrial scale or require a stage of column purification of the end product, and may also use toxic reagents such as tin chloride for preparing the hydrazine, while having an overall yield of only 18%. For instance, zolmitriptan I (R1 = NMe2, R2 = H) was prepared via 6 steps with 87-95% yield for each step (alkyl is ethyl).

IT 139264-17-8P, Zolmitriptan

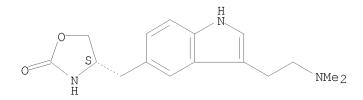
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of zolmitriptan from [(pyranilidenhydrazino)benzyl]oxazolidinon e via Fischer indole synthesis, transesterification, amination of hydroxy, saponification, and decarboxylation)

RN 139264-17-8 CAPLUS

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:777120 CAPLUS

DOCUMENT NUMBER: 139:265812

TITLE: Process for the preparation of rapidly

disintegrating tablet

INVENTOR(S): Lee, Chang-Hyun; Woo, Jong-Soo; Chang, Hee-Chul

PATENT ASSIGNEE(S): Hanmi Pharm. Co., Ltd., S. Korea

SOURCE: U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of U.S.

Pat. Appl. 2002 1,617.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
					-	
	US 2003185886	A1	20031002	US 2003-391103		20030317
	US 2002001617	A1	20020103	US 2001-865264		20010525
PRIOR	RITY APPLN. INFO.:			KR 2000-28667	Α	20000526
				US 2001-865264	Α2	20010525

AB The present invention relates to a process for the preparation of a tablet having an enhanced strength as well as a high disintegrating rate in the oral cavity, which comprises: spray-drying an active ingredient to obtain a spray-dried particulate containing the active ingredient; mixing the spray-dried particulate, a sublimable substance suitable for oral administration, a poly(ethylene glycol), and a pharmaceutically acceptable additive; tableting the mixture; and drying the resulting tablet to sublime the sublimable substance until the tablet becomes porous. For example, ondansetron was dissolved in methanol and the solution was subjected to spray drying to obtain a particulate material, then the particulate was mixed with menthol, mannitol, xylitol, polyethylene glycol, stevioside, PVP, Mg stearate, and silica. The resulting mixture was tableted and dried at 45° for 24 h to sublime menthol to obtain a rapidly disintegrating tablet.

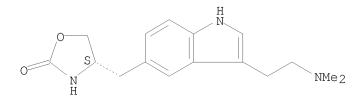
IT 139264-17-8, Zolmitriptan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (spray-drying and subliming ingredients for manufacturing rapidly disintegrating buccal tablets)

RN 139264-17-8 CAPLUS

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:964146 CAPLUS

DOCUMENT NUMBER: 138:39187

TITLE: Preparation of piperidinecarboxylates and

related compounds as NMDA NR2B receptor antagonists

for the treatment or prevention of migraine.

Allen, Christopher; Koblan, Ken S.; Sleeth, Timothy INVENTOR(S):

PATENT ASSIGNEE(S): Merck & Co., Inc., USA PCT Int. Appl., 185 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PA:	CENT 1	NO.			KIN	)	DATE		i	APPL	ICAT	ION 1	NO.		D.	ATE	
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		RW:	GH, KG, GR,	GM, KZ, IE,	KE, MD, IT,	LS, RU, LU,	MW, TJ, MC,	MZ, TM, NL,	ZM, SD, AT, PT, SN,	SL, BE, SE,	CH, TR,	CY,	DE,	DK,	ES,	FI,	FR,	GB,
	AU	2449 2002 1399	249 3460	50	r	A1 A1	ŕ	2002 2002	1219 1223	· :	CA 2 AU 2	002-	3460	50		2	0020 0020 0020	607
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	US RIT	2004 2004 APP	2043 LN.	41 INFO	.:	A1		2004	1014	; ; ;	US 2 US 2 WO 2	003- 001- 002-	4799. 2976 US21	23 72P 069	]	2 P 2 W 2	0020 0031 0010 0020	205 612 607
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A method for treating or preventing migraines comprises administration of AΒ an NR2B receptor antagonist (no data). The invention also encompasses the combination of an NR2B antagonist with a cyclooxygenase-2 selective inhibitor, a calcitonin gene-related peptide receptor (CGRP) ligand, a leukotriene receptor antagonist, or a 5HT1B/1D agonist for the treatment or prevention of migraines. Thus, 4-hydroxybenzoic acid, 1-hydroxybenzotriazole hydrate, benzyl 4-(aminomethyl)piperidine-1carboxylate (preparation given), and Et3N in DMF were treated with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and the mixture allowed to stir at room temperature for 18 h to give 4-[(4hydroxybenzoylamino)methyl]piperidine-1-carboxylic acid benzyl ester.

ΙT 139264-17-8, Zolmitriptan

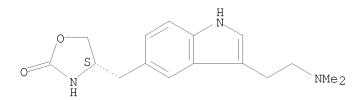
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(coadministration; preparation of piperidinecarboxylates and related compds. as NR2B receptor antagonists for the treatment or prevention of migraine)

RN 139264-17-8 CAPLUS

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:556104 CAPLUS

DOCUMENT NUMBER: 137:109489

TITLE: Compositions comprising a polypeptide and an active

agent

INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal

J.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 34 pp., which which which

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CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 27

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002099013	A1	20020725	US 2001-933708		20010822
US 2004087483 US 7163918	A1 B2	20040506 20070116	US 2002-136433		20020502
US 2004063628	A1	20040401	US 2002-156527		20020529
US 7060708 IN 2003KN00775	B2 A	20060613 20050204	IN 2003-KN775		20030613
US 2007232529	A1	20071004	US 2004-923088		20040823
US 2006014697	A1	20060119	US 2005-89056		20050325
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Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an

active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

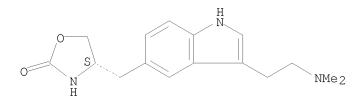
IT 139264-17-8, Zolmitriptan

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. comprising a polypeptide and an active agent)

RN 139264-17-8 CAPLUS

CN 2-0xazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:332011 CAPLUS

DOCUMENT NUMBER: 136:355482

TITLE: Compositions comprising a polypeptide and an active

agent

INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall

J.

PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 27

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		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,	RU,
		SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UΖ,	VN,	YU,
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US 2000-248535P Р 20001116 W WO 2001-US26142 20010822 A3 20011114 AU 2001-298033 KR 2003-702643 A3 20030222

Claimed are compns. comprising a polypeptide and an active agent AB covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

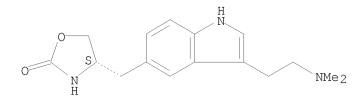
ΙT 139264-17-8, Zolmitriptan

> RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compns. comprising a polypeptide and an active agent)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S) - (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 26 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:174136 CAPLUS

DOCUMENT NUMBER: 116:174136

TITLE: Preparation of [(oxazolidinonylalkyl)indolyl

]ethylamines and related compounds as serotonin

agonists

INVENTOR(S): Robertson, Alan Duncan; Hill, Alan Peter; Glen, Robert

> Charles; Martin, Graeme Richard Wellcome Foundation Ltd., UK

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Pat.ent. LANGUAGE: English

FAMILY ACC. NUM. COUNT:

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WO	9118897	A1	19911212	WO 1991-GB908	19910606
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ΑU	9179570	A	19911231	AU 1991-79570	19910606
ΑU	646871	В2	19940310		
EP	486666	A1	19920527	EP 1991-911486	19910606
EP	486666	B1	19970813		
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ZA	9104340	A	19930224	ZA 1991-4340	19910606
HU	62289	A2	19930428	HU 1992-384	19910606

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OTHER SOURCE(S):	CAS	REACT 116:17	4136; I	MARPAT 116:1741	136	

OTHER SOURCE(S): CASREACT 116:174136; MARPAT 116:174136

AB Title compds. I [n = 0-3; W = Q1-Q3; R, R1, R2 = H, C1-4 alkyl; X = O, S,

NH, CH2; Y = 0, S; Z = CH2CH2NR1R2, Q; Q = 4-piperidyl, 1.2,3,6-tetrahydropyridin-4-yl, 1-C1-4 alkyl-4-piperidyl, 1-C1-4 alkyl-1,2,3,6-tetrahydropyridin-4-yl] were prepared as 5-HT1-like receptor agonists for the treatment of migraines. Thus S-4-(4-nitrobenzyl)-1,3-oxazolidin-2-one (preparation given) was hydrogenated over Pd/C and the product formed was diazotized in the presence of SnCl2 to give the 4-(4-hydrazinobenzyl) derivative This was cyclocondensed with C1(CH2)3CH(OMe)2 and the resulting (indolyl)ethylamine derivative was di-N-methylated by H2CO/NaCNBH3 to give (S)-I [W = Q1; R = H, X, Y = 0; n = 1; Z = CH2CH2NMe2] (II). II had p[A50] of 7.0 for mediating smooth muscle contraction where [A50] is the concentration necessary for half-maximal effect. II.HCl orally at 50 mg/kg/day for 15 days was not toxic to cynomolgus monkeys. Formulations of I were prepared

IT 139264-17-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as serotonin agonist)

RN 139264-17-8 CAPLUS

CN 2-Oxazolidinone, 4-[[3-[2-(dimethylamino)ethyl]-1H-indol-5-yl]methyl]-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.

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FILE 'STNGUIDE' ENTERED AT 17:05:23 ON 28 FEB 2008 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
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